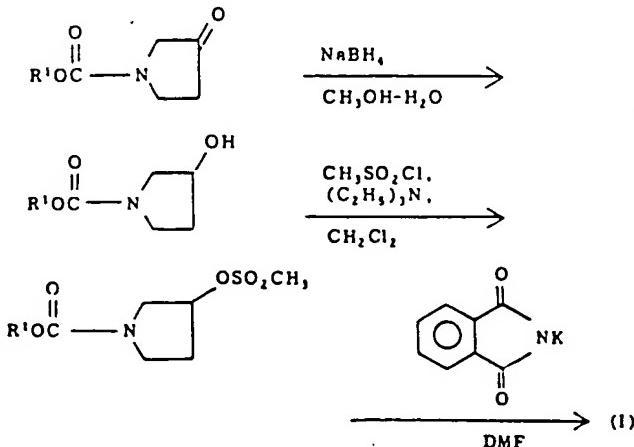


STARTING MATERIALSEXAMPLE

Ethoxycarbonyl-3-pyrrolidone (100 g) was dissolved in MeOH (300 ml) and a soln. of sodium borohydride (6.02 g) in H₂O (40 ml) was added dropwise at 0°C over 30 mins., then stirred for 15 mins. Conc. HCl (14.3 ml), satd. NaCl soln. (250 ml) and CH₂Cl₂ (300 ml) were added to the reaction mixt. The organic layer was fractionated, washed with said. eq. NaCl soln. (100 ml), dried over anhydrous MgSO₄, and the solvent was distilled off under reduced press. to give 1-ethoxycarbonyl-3-hydroxypyrrolidine (100 g, 98.7% yield) as an oil.

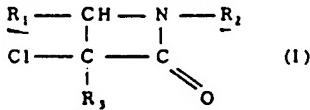
Followed by prepns. of:

1-ethoxycarbonyl-3-mesyloxy-3-pyrrolidine;
1-ethoxycarbonyl-3-phthalimidopyrrolidine;
3-aminopyrrolidine dihydrochloride; and finally
3-aminopyrrolidine (III).
(4ppW69WSDwgNo0/0).

J61057579-A

86-116676/18 B03 KANT-29.08.84
KANTOH ISHI SEIYAKU *J6 1057-580-A
29.08.84-JP-180212 (24.03.86) A61k-31/39 C07d-205/08 C07d-235
C07d-403/04 C07d-405/04
New 2-azetidinone derivs. - with carcinostatic and antibacterial activity
CB6-049841

2-Azetidinone derivs. of formula (I) are new:



R₁ = furyl or methoxyphenyl;
R₂ = benzimidazolyl, phenyl, methoxyphenyl, methoxycarbonylphenyl or ethoxycarbonylphenyl; and
R₃ = H, phenyl or chloro.

USE

(I) have excellent physiological activity as carcinostatic, immuno-controlling and antibacterial agents and are useful as pharmaceuticals.

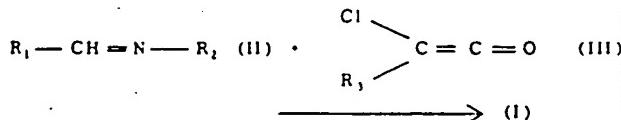
B(6-DS, 7-D1, 12-A1, 12-D2, 12-G7)

5

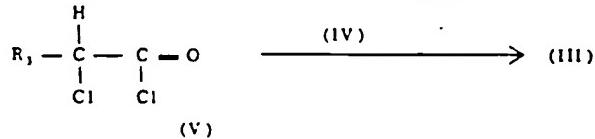
30173

PREPARATION

(A)

STARTING MATERIALS

(III) is a reactive and unstable cpd. It is pref. prepnd. In situ by treating an acetyl chloride deriv. of formula (V) with an organic amine (IV) (pref. 1-3C alkylamine).



J61057580-A.

EXAMPLE

A soln. contg. chloroacetylchloride in anhydrous benzene (10 ml) was added dropwise to a soln. contg. (II: R₁ = furyl, R₂ = phenyl) (0.01 mol.) and Et₃N (1.52 g, 0.015 mol.) in anhydrous benzene (50 ml) at 5-10°C with stirring. The reaction mixt. was allowed to rise to room temp. and stirred for 2 hrs. The Et₃N.HCl was removed and the solvent distilled off under reduced press. The residue was chromatographed (silica gel : eluent, hexane-EtOAc) (5 : 1 - 50 : 1)) to give (I: R₁ = 2-furyl, R₂ = phenyl, R₃ = H).(8ppW69WSDwgNo0/0).

J61057580-A